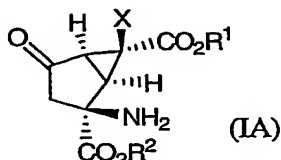


WHAT IS CLAIMED IS:

1. A process for preparing a compound of formula (IA):



wherein R¹ and R² are each selected from the group consisting of

- (1) hydrogen,
- (2) C₁₋₁₀ alkyl,
- (3) C₃₋₈ cycloalkyl, and
- (4) -(CH₂)_n-phenyl

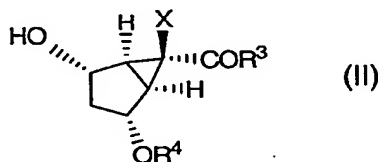
wherein n is 1 or 2, and said alkyl, cycloalkyl and phenyl are unsubstituted or substituted with one or more halogen, hydroxy, C₁₋₆ alkyl or C₁₋₆ alkoxy;

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

pharmaceutically acceptable salts thereof,
comprising:

- (A) oxidizing a compound of formula (II):



wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
- (b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

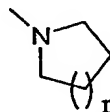
- (i) C₁₋₁₀ alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR^d,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (vii) NR^eR^f;

R^b, R^c, R^e and R^f are selected from the group consisting of

- (a) halogen
- (b) C₁₋₁₀ alkyl, and
- (c) C₃₋₈ cycloalkyl,

and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
 - (ii) C₁₋₁₀ alkoxy,
 - (iii) SR^d,
 - (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 - (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 - (vi) NR^gR^h;
- wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;
- or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- (iii) SR^d,

- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 (vi) NR^gR^h,

R^d is hydrogen or C₁₋₁₀ alkyl; and

R⁴ is selected from the group consisting of

- (1) hydrogen,
 (2) C₁₋₁₀ alkyl,
 (3) Si-(R⁹)(R¹⁰)(R¹¹),
 (4) C(=O)-R¹²,
 (5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ alkyl and C₁₋₁₀ alkoxy,
 (6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴,
 (7) tetrahydropyranyl,

wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl, and R¹⁴ is selected from the group consisting of

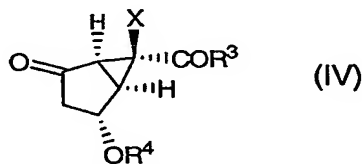
- (a) hydrogen,
 (b) C₁₋₁₀ alkyl,

p is 1 or 2;

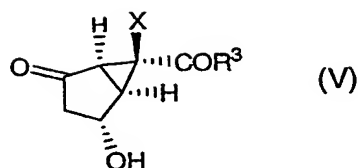
q is an integer selected from 1-10; and

X' is O or a bond;

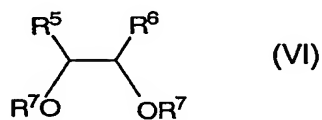
to form a compound of formula (IV):



(B) deprotecting the compound of formula (IV) to form a compound of formula (V):



(C) reacting the compound of formula (V) with a compound of formula (VI):



5 wherein R⁵ and R⁶ are each independently selected from the group consisting of

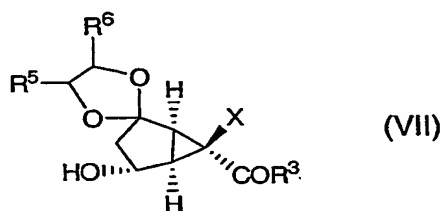
- (1) hydrogen,
- (2) C₁₋₁₀ alkyl,
- (3) C₃₋₈ cycloalkyl, and
- (4) (CH₂)_m phenyl,

10 wherein m is 0, 1 or 2, and

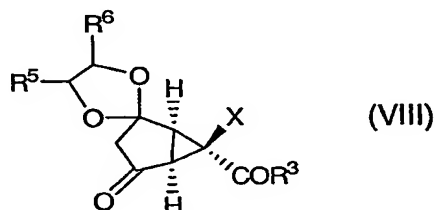
R⁷ is selected from the group consisting of

- (1) hydrogen, and
- (2) Si-(R⁹)(R¹⁰)(R¹¹), wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl;

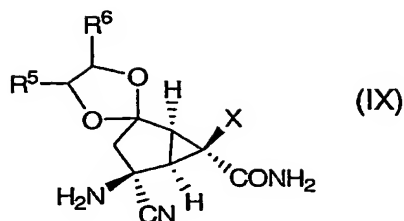
to give a compound of formula (VII):



(D) oxidizing the compound of formula (VII) to give a compound of formula (VIII):

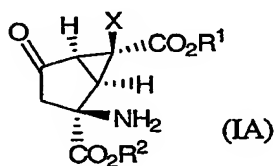


(E) converting the compound of formula (VIII) to a compound of formula (IX):



and (F) converting the compound of formula (IX) to the compound of formula (IA).

2. The process of Claim 1 wherein R⁵ and R⁶ are methyl.
3. The process of Claim 1 wherein R⁵ and R⁶ are phenyl.
4. The process of Claim 1 wherein R³ is methoxy.
5. The process of Claim 1 wherein R¹ and R² are hydrogen.
6. The process of Claim 1 wherein R⁷ is trimethylsilyl.
7. The process of Claim 1 wherein X is hydrogen.
8. The process of Claim 1 wherein X is fluoro.
9. The process of Claim 1 wherein R⁴ is *tert* butyldimethylsilyl.
10. A process for preparing a compound of formula (IA):



wherein R¹ and R² are each selected from the group consisting of
(1) hydrogen,

- (2) C₁₋₁₀ alkyl,
- (3) C₃₋₈ cycloalkyl, and
- (4) -(CH₂)_n-phenyl

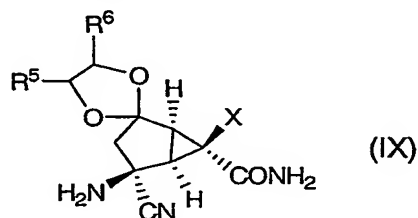
wherein n is 1 or 2, and said alkyl, cycloalkyl and phenyl are unsubstituted or substituted with one or more halogen, hydroxy, C₁₋₆ alkyl or C₁₋₆ alkoxy;

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

pharmaceutically acceptable salts thereof;

comprising converting the compound of formula (IX):



wherein R⁵ and R⁶ are each independently selected from the group consisting of

- (1) hydrogen,
- (2) C₁₋₁₀ alkyl,
- (3) C₃₋₈ cycloalkyl, and
- (4) (CH₂)_m-phenyl,

wherein m is 0, 1 or 2,

to the compound of formula (IA).

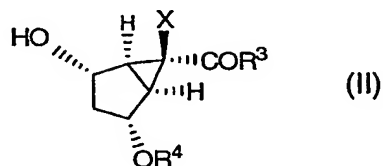
11. The process of Claim 10 wherein R⁵ and R⁶ are methyl.

12. The process of Claim 10 wherein R⁵ and R⁶ are phenyl.

13. The process of Claim 10 wherein X is fluoro.

14. The process of Claim 10 wherein X is hydrogen.

15. A process for preparing a compound of formula (II):



wherein R³ is selected from the group consisting of

- (1) -OH,
 (2) -O-R^a, and
 (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
 (b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

- (i) C₁₋₁₀ alkoxy,
 (ii) hydroxy,
 (iii) halogen,
 (iv) SR^d,
 (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,
 (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 (vii) NR^eR^f;

R^b, R^c, R^e and R^f are selected from the group consisting of

- (a) hydrogen,
 (b) C₁₋₁₀ alkyl, and
 (c) C₃₋₈ cycloalkyl,

and when R^b, R^c, R^e or R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

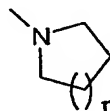
- (i) hydroxy,
 (ii) C₁₋₁₀ alkoxy,
 (iii) SR^d,
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) NR^gR^h;

wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) NR^gR^h,

R^d is hydrogen or C₁₋₁₀ alkyl;

X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

R⁴ is selected from the group consisting of

(1) hydrogen,

(2) C₁₋₁₀ alkyl,

(3) Si-(R⁹)(R¹⁰)(R¹¹),

(4) C(=O)-R¹²,

(5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ alkyl and C₁₋₁₀

alkoxy,

(6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴,

(7) tetrahydropyranyl,

wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl, and R¹⁴ is selected from the group consisting of

(a) hydrogen,

(b) C₁₋₁₀ alkyl,

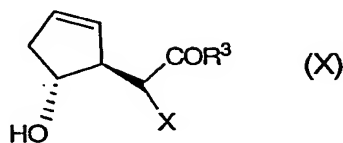
p is 1 or 2;

q is an integer of from 1-10; and

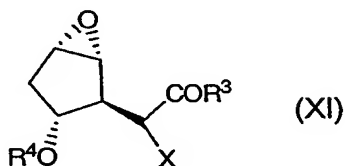
X' is O or a bond;

comprising:

(A) converting a compound of formula (X):



to a compound of formula (XI):



and (B) reacting a compound of formula (XI) with a base in the presence of a Lewis acid to give a compound of formula (II).

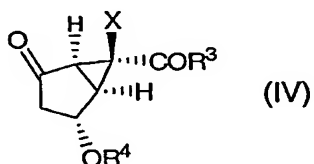
16. The process of Claim 5 wherein the conversion of a compound of formula (X) to a compound of formula (XI) comprises the step of subjecting a compound of formula (X) to epoxidation in the presence of a peroxide source and a catalytic amount of VO(acac)₂.

17. The process of Claim 5 wherein the conversion of a compound of formula (X) to a compound of formula (XI) comprises treating the compound of formula (X) with a halogenating agent, followed by treatment with a base.

18. The process of Claim 15 wherein X is fluoro.

19. The process of Claim 15 wherein X is hydrogen.

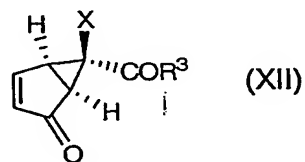
20. The process of Claim 5, further comprising the step of oxidizing the compound of
5 formula (II) to form a compound of formula (IV)



10 21. The process of Claim 20 wherein X is fluoro.

22. The process of Claim 20 wherein X is hydrogen.

23. A process for preparing a compound of formula (XII)



15 wherein R³ is selected from the group consisting of

(1) -OH,

(2) -O-R^a, and

(3) -NR^bR^c,

20 wherein R^a is selected from the group consisting of

(a) C₁₋₁₀ alkyl, and

(b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

(i) C₁₋₁₀ alkoxy,

(ii) hydroxy,

(iii) halogen,

(iv) SR^d,

25

(v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vii) NReR^f;

R^b, R^c, R^e and R^f are selected from the group consisting of

(a) hydrogen,

(b) C₁₋₁₀ alkyl, and

(c) C₃₋₈ cycloalkyl,

and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

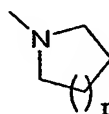
(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) NRGR^h;

wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bRC group may be unsubstituted or substituted at the ring carbon atoms by one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) $\text{NR}^{\text{e}}\text{R}^{\text{h}}$,

R^{d} is hydrogen or C_{1-10} alkyl;

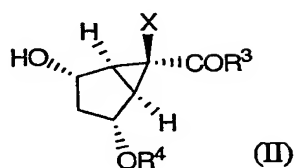
X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

comprising:

(A) converting a compound of formula (II)



(II)

wherein R^4 is selected from the group consisting of

(1) hydrogen,

(2) C_{1-10} alkyl,

(3) $\text{Si}(\text{R}^9)(\text{R}^{10})(\text{R}^{11})$,

(4) $\text{C}(=\text{O})\text{-R}^{12}$,

(5) CH_2 -phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C_{1-10} alkyl and C_{1-10} alkoxy,

(6) $(\text{CH}_2)_p\text{-O}-(\text{CH}_2)_q\text{-X}'\text{-R}^{14}$,

(7) tetrahydropyranyl,

wherein R^9 , R^{10} and R^{11} are each C_{1-10} alkyl or phenyl, and R^{14} is selected from the group consisting of

(a) hydrogen,

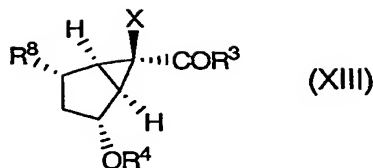
(b) C_{1-10} alkyl,

p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

to a compound of formula (XIII)



wherein R^8 is selected from the group consisting of

(1) halogen, and

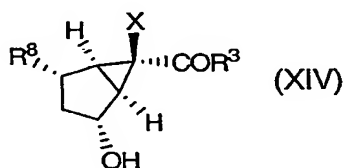
(2) $O-SO_2-R^{12}$ wherein R^{12} is selected from the group consisting of

(a) C_{1-10} alkyl,

(b) C_{1-10} perfluoroalkyl, or

(c) phenyl which is substituted or unsubstituted with one or more substituents selected from the group consisting of nitro, halogen, C_{1-10} alkyl, or C_{1-10} alkoxy,

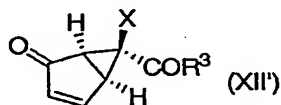
(B) removing R^4 to form a compound of formula (XIV)



and (C) oxidizing the compound of formula (XIV) to form the compound of formula (XII).

24. The process of claim 23 wherein R^3 is methoxy.

25. A process for preparing a compound of formula (XII')



wherein R^3 is selected from the group consisting of

(1) $-OH$,

(2) $-O-R^a$, and

(3) $-NR^bR^c$,

wherein R^a is selected from the group consisting of

(a) C_{1-10} alkyl, and

(b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

(i) C₁₋₁₀ alkoxy,

(ii) hydroxy,

(iii) halogen,

(iv) SR^d,

(v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vii) NR^eR^f;

R^b, and R^c, R^e and R^f are selected from the group consisting of

(a) hydrogen,

(b) C₁₋₁₀ alkyl, and

(c) C₃₋₈ cycloalkyl,

and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,

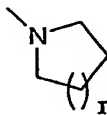
(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) NR^eR^h;

wherein R^g and R^h are selected from the group consisting of hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;

R^d is hydrogen or C₁₋₁₀ alkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the $\text{NR}^{\text{b}}\text{RC}^{\text{c}}$ group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C_{1-10} alkoxy,
- (iii) SR^{d} ,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen, and
- (vi) $\text{NR}^{\text{e}}\text{R}^{\text{h}}$,

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and

R^4 is selected from the group consisting of

- (1) hydrogen,
- (2) C_{1-10} alkyl,
- (3) $\text{Si}-(R^9)(R^{10})(R^{11})$,
- (4) $\text{C}(=\text{O})-\text{R}^{12}$,
- (5) CH_2 -phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C_{1-10} and C_{1-10} alkoxy,
- (6) $(\text{CH}_2)_p-\text{O}-(\text{CH}_2)_q-\text{X}'-\text{R}^{14}$,
- (7) tetrahydropyranyl,

wherein R^9 , R^{10} and R^{11} are each C_{1-10} alkyl or phenyl, and R^{14} is selected from the group consisting of

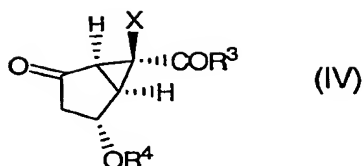
- (a) hydrogen,
- (b) C_{1-10} alkyl;

p is 1 or 2;

q is an integer of from 1-10; and

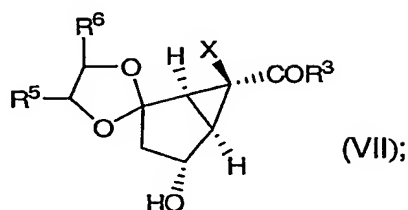
X' is O or a bond;

comprising converting a compound of formula (IV)



to a compound of formula (XII').

26. A compound of formula (VII):



wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
- (b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

- (i) C₁₋₁₀ alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR^d,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (vii) NR^eR^f;

R^b, R^c, R^e and R^f are selected from the group consisting of

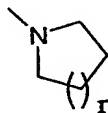
- (a) hydrogen,
 (b) C₁₋₁₀ alkyl, and
 (c) C₃₋₈ cycloalkyl,
 and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
 (ii) C₁₋₁₀ alkoxy,
 (iii) SR^d,
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 (vii) NR^gR^h;

wherein R^g and R^h are selected from the group consisting of hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl

R^d is hydrogen or C₁₋₁₀ alkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
 (ii) C₁₋₁₀ alkoxy,
 (iii) SR^d,
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 (vi) NR^gR^h,

R⁵ and R⁶ are independently selected from the group consisting of

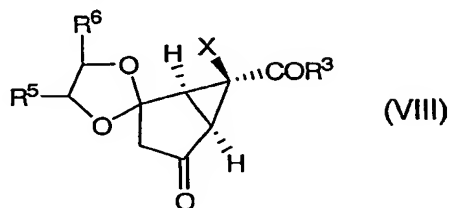
- (1) hydrogen,

- (2) C₁₋₁₀ alkyl,
- (3) C₃₋₈ cycloalkyl, and
- (4) (CH₂)_m-phenyl,

wherein m is 0, 1 or 2; and

- 5 X is selected from the group consisting of
- (1) halogen, and
 - (2) hydrogen;
- and salts thereof.

- 10 27. A compound of formula (VIII):



wherein R³ is selected from the group consisting of

- (1) -OH,
- (2) -O-R^a, and
- 15 (3) -NR^bR^c,

wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
- (b) C₃₋₈ cycloalkyl,

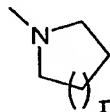
and R^a is unsubstituted or substituted with one or more

- 20 (i) C₁₋₁₀ alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR^d,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀
- 25 alkoxy, C₁₋₁₀ alkyl or halogen,

- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀
- alkoxy, C₁₋₁₀ alkyl or halogen, and
- (vii) NR^eR^f;

R^b, R^c, R^e and R^f are selected from the group consisting of

- (a) hydrogen,
 (b) C₁₋₁₀ alkyl, and
 (c) C₃₋₈ cycloalkyl,
 and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀
 5 alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more
 (i) hydroxy,
 (ii) C₁₋₁₀ alkoxy,
 (iii) SR^d,
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀
 10 alkoxy, C₁₋₁₀ alkyl or halogen, and
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀
 alkoxy, C₁₋₁₀ alkyl or halogen, and
 (vi) NR^gR^h;
 wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;
 15 R^d is hydrogen or C₁₋₁₀ alkyl;
 or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring
 20 carbon atoms by one or more

- (i) hydroxy,
 (ii) C₁₋₁₀ alkoxy,
 (iii) SR^d,
 (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀
 25 alkoxy, C₁₋₁₀ alkyl or halogen, and
 (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀
 alkoxy, C₁₋₁₀ alkyl or halogen, and
 (vi) NR^gR^h,

30 R⁵ and R⁶ are independently selected from the group consisting of

- (1) hydrogen,
 (2) C₁₋₁₀ alkyl,
 (3) C₃₋₈ cycloalkyl, and

(4) $(\text{CH}_2)_m$ phenyl,

wherein m is 0, 1 or 2; and

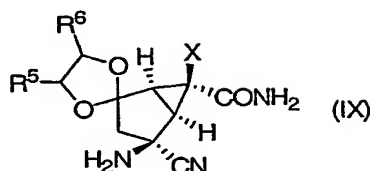
X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

and salts thereof.

28. A compound of formula (IX):



wherein R^5 and R^6 are independently selected from the group consisting of

(1) hydrogen,

(2) C_{1-10} alkyl,

(3) C_{3-8} cycloalkyl, and

(4) $(\text{CH}_2)_m$ -phenyl,

wherein m is 0, 1 or 2; and

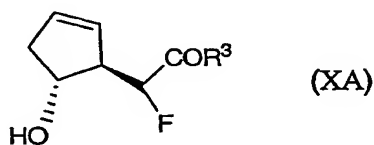
X is selected from the group consisting of

(1) halogen, and

(2) hydrogen;

and salts thereof.

29. A compound of formula (XA):



wherein R^3 is selected from the group consisting of

(1) $-\text{OH}$,

(2) $-\text{O}-R^a$, and

(3) $-NR^bR^c$,

wherein R^a is selected from the group consisting of

(a) C_{1-10} alkyl, and

(b) C_{3-8} cycloalkyl,

and R^a is unsubstituted or substituted with one or more

(i) C_{1-10} alkoxy,

(ii) hydroxy,

(iii) halogen,

(iv) SR^d ,

(v) aryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen, and

(vii) NR^eR^f ;

R^b , R^c , R^e and R^f are selected from the group consisting of

(a) hydrogen,

(b) C_{1-10} alkyl, and

(c) C_{3-8} cycloalkyl,

and when R^b , R^c , R^e and R^f are C_{1-10} alkyl or C_{3-8} cycloalkyl, said C_{1-10} alkyl and C_{3-8} cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii) C_{1-10} alkoxy,

(iii) SR^d ,

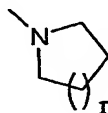
(iv) aryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen, and

(vi) NR^gR^h ;

wherein R^g and R^h are hydrogen, C_{1-10} alkyl or C_{3-8} cycloalkyl;

or R^b and R^c , together with the N atom to which they are attached, form a group



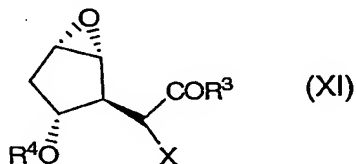
wherein r is 1 or 2, and the $\text{NR}^{\text{b}}\text{R}^{\text{c}}$ group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C_{1-10} alkoxy,
- (iii) SR^{d} ,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen, and
- (vi) $\text{NR}^{\text{g}}\text{R}^{\text{h}}$,

R^{d} is hydrogen or C_{1-10} alkyl;

and salts thereof.

30. A compound of formula (XI):



wherein R^3 is selected from the group consisting of

- (1) $-\text{OH}$,
- (2) $-\text{O}-\text{R}^{\text{a}}$, and
- (3) $-\text{NR}^{\text{b}}\text{R}^{\text{c}}$,

wherein R^{a} is selected from the group consisting of

- (a) C_{1-10} alkyl, and
- (b) C_{3-8} cycloalkyl,

and R^{a} is unsubstituted or substituted with one or more

- (i) C_{1-10} alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SR^{d} ,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C_{1-10} alkoxy, C_{1-10} alkyl or halogen,

(vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vii) NR^eR^f;

R^b, R^c, R^e and R^f are selected from the group consisting of

(a) hydrogen,

(b) C₁₋₁₀ alkyl, and

(c) C₃₋₈ cycloalkyl,

and when R^b, R^c, R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

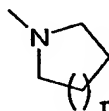
(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) NR^gR^h;

wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;

or R^b and R^c, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

(i) hydroxy,

(ii) C₁₋₁₀ alkoxy,

(iii) SR^d,

(iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

(vi) NR^gR^h,

R^d is hydrogen or C_{1-10} alkyl;

R^4 is selected from the group consisting of

- (1) hydrogen,
- (2) C_{1-10} alkyl,
- (3) $Si-(R^9)(R^{10})(R^{11})$,
- (4) $C(=O)-R^{12}$,
- (5) CH_2 -phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C_{1-10} alkyl and C_{1-10} alkoxy,
- (6) $(CH_2)_p-O-(CH_2)_q-X'-R^{14}$,
- (7) tetrahydropyranyl,

wherein R^9 , R^{10} and R^{11} are each C_{1-10} alkyl or phenyl, and R^{14} is selected from the group consisting of

- (a) hydrogen,
- (b) C_{1-10} alkyl,

p is 1 or 2;

q is an integer of from 1-10; and

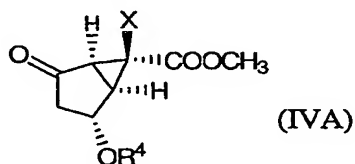
X' is O or a bond;

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

and salts thereof.

31. A compound of formula (IVA):



wherein X is selected from the group consisting of

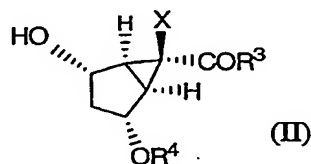
- (1) halogen, and
- (2) hydrogen; and

R^4 is selected from the group consisting of

- (1) hydrogen,
 (2) C₁₋₁₀ alkyl,
 (3) Si-(R⁹)(R¹⁰)(R¹¹),
 (4) C(=O)-R¹²,
 5 (5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more
 substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ alkyl and
 C₁₋₁₀ alkoxy,
 (6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴, and
 (7) tetrahydropyranyl,
 10 wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl, and R¹⁴ is selected from the group
 consisting of
 (a) hydrogen,
 (b) C₁₋₁₀ alkyl,
 p is 1 or 2;
 15 q is an integer of from 1-10; and
 X' is O or a bond;

and salts thereof.

32. A compound of formula (II):



wherein R³ is selected from the group consisting of

- (1) -OH,
 (2) -O-R^a, and
 (3) -NR^bR^c,

25 wherein R^a is selected from the group consisting of

- (a) C₁₋₁₀ alkyl, and
 (b) C₃₋₈ cycloalkyl,

and R^a is unsubstituted or substituted with one or more

- (i) C₁₋₁₀ alkoxy,
 30 (ii) hydroxy,

- (iii) halogen,
- (iv) SR^d ,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (vii) NR^eR^f ;

R^b , R^c , R^e and R^f are selected from the group consisting of

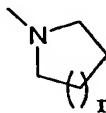
- (a) hydrogen,
- (b) C₁₋₁₀ alkyl, and
- (c) C₃₋₈ cycloalkyl,

and when R^b , R^c , R^e and R^f are C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl, said C₁₋₁₀ alkyl and C₃₋₈ cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- (iii) SR^d ,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
- (vi) NR^gR^h ;

wherein R^g and R^h are hydrogen, C₁₋₁₀ alkyl or C₃₋₈ cycloalkyl;

or R^b and R^c , together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR^bR^c group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C₁₋₁₀ alkoxy,
- (iii) SR^d ,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and

- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C₁₋₁₀ alkoxy, C₁₋₁₀ alkyl or halogen, and
 (vi) NRG^h,

5 R^d is hydrogen or C₁₋₁₀ alkyl;

R⁴ is selected from the group consisting of

- (1) hydrogen,
 (2) C₁₋₁₀ alkyl,
 (3) Si-(R⁹)(R¹⁰)(R¹¹),
 10 (4) C(=O)-R¹²,
 (5) CH₂-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C₁₋₁₀ alkyl and C₁₋₁₀ alkoxy,
 (6) (CH₂)_p-O-(CH₂)_q-X'-R¹⁴, and
 15 (7) tetrahydropyranyl,

wherein R⁹, R¹⁰ and R¹¹ are each C₁₋₁₀ alkyl or phenyl, and

R¹⁴ is selected from the group consisting of

- (a) hydrogen,
 (b) C₁₋₁₀ alkyl,

20 p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

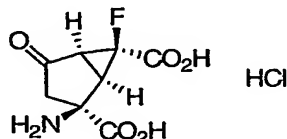
X is selected from the group consisting of

- (1) halogen, and
 25 (2) hydrogen;

and salts thereof.

33. A compound which is:

30



34. A polymorphic form of the compound of Claim 34 wherein the polymorphic form has a d-spacing determined by x-ray powder diffraction, CuK alpha, of about 5.37 angstroms.

5 35. The polymorphic form of Claim 35, which has at least one additional d-spacing determined by x-ray powder diffraction, CuK alpha, of about 4.52, 4.05, 3.84, 3.37, 2.96, 2.73, 2.67, 2.59 or 2.42 angstroms.

36. A polymorphic form of the compound of Claim 34, wherein the polymorphic form has a Differential Scanning Calorimetry extrapolated onset melting temperature of about 184°C.